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NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 25 CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered  
NEWS 4 FEB 28 PATDPAFULL - New display fields provide for legal status data from INPADOC  
NEWS 5 FEB 28 BABS - Current-awareness alerts (SDIs) available  
NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded  
NEWS 7 MAR 02 GBFULL: New full-text patent database on STN  
NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced  
NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 10 MAR 22 KOREPAT now updated monthly; patent information enhanced  
NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY  
NEWS 12 MAR 22 PATDPASPC - New patent database available  
NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags  
NEWS 14 APR 04 EPFULL enhanced with additional patent information and new fields  
NEWS 15 APR 04 EMBASE - Database reloaded and enhanced  
NEWS 16 APR 18 New CAS Information Use Policies available online  
NEWS 17 APR 25 Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAplus and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.  
NEWS 18 APR 28 Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAplus  
NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005  
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NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

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 COST IN U.S. DOLLARS  
 FULL ESTIMATED COST

	SINCE FILE ENTRY	TOTAL SESSION
	0.21	0.21

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STRUCTURE FILE UPDATES: 27 APR 2005 HIGHEST RN 849400-77-7  
 DICTIONARY FILE UPDATES: 27 APR 2005 HIGHEST RN 849400-77-7

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

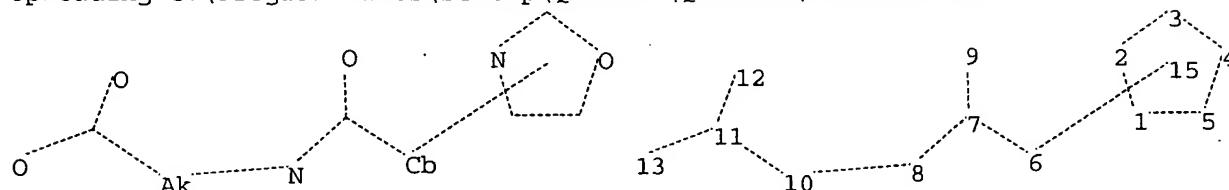
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\*\*\*\*\*  
 \*  
 \* The CA roles and document type information have been removed from \*  
 \* the IDE default display format and the ED field has been added, \*  
 \* effective March 20, 2005. A new display format, IDERL, is now \*  
 \* available and contains the CA role and document type information. \*  
 \*  
 \*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
 information enter HELP PROP at an arrow prompt in the file or refer  
 to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
 Uploading C:\Program Files\Stnexp\Queries\QUERIES\10743954.str

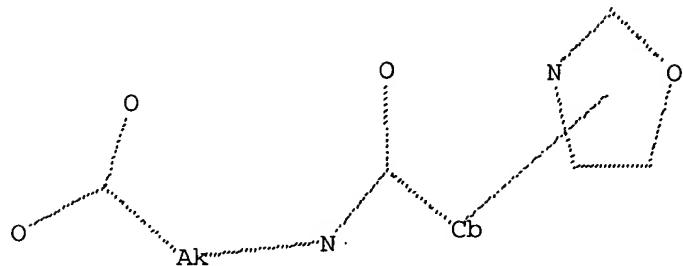


chain nodes :  
 6 7 8 9 10 11 12 13  
 ring nodes :  
 1 2 3 4 5  
 chain bonds :  
 6-7 7-8 7-9 8-10 10-11 11-12 11-13  
 ring bonds :  
 1-2 1-5 2-3 3-4 4-5  
 exact/norm bonds :  
 1-2 1-5 2-3 3-4 4-5 6-7 7-8 7-9 8-10 10-11 11-12 11-13  
 isolated ring systems :  
 containing 1 :

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d  
L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11  
SAMPLE SEARCH INITIATED 14:05:15 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 10758 TO ITERATE

9.3% PROCESSED 1000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 208945 TO 221375  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full  
FULL SEARCH INITIATED 14:05:21 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 216529 TO ITERATE

100.0% PROCESSED 216529 ITERATIONS  
SEARCH TIME: 00.00.03

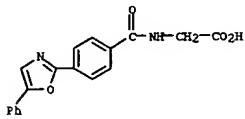
L3 11 SEA SSS FUL L1

=> s 13 and caplus/lc  
45717647 CAPLUS/LC  
L4 9 L3 AND CAPLUS/LC

=> s 13 not 14  
L5 2 L3 NOT L4

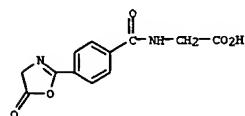
=> d 15 1-2

L5 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 438018-46-3 REGISTRY  
ED Entered STN: 10 Jul 2002  
CN Glycine, N-[4-(5-phenyl-2-oxazolyl)benzoyl]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C18 H14 N2 O4  
SR Chemical Library  
LC STN Files: CHEMCATS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 300395-44-2 REGISTRY  
ED Entered STN: 30 Oct 2000  
CN Glycine, N-[4-(4,5-dihydro-5-oxo-2-oxazolyl)benzoyl]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C12 H10 N2 O5  
SR Chemical Library  
LC STN Files: CHEMCATS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

=> fil chemcats	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	171.33	171.54

FILE 'CHEMCATS' ENTERED AT 14:07:30 ON 28 APR 2005  
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FILE LAST UPDATED 23 APRIL 2005 (20050423/UP)

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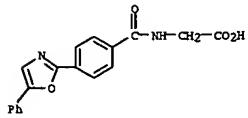
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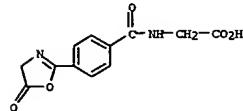
=> s 15  
L6 2 L5

=> d 16 1-2

L6 ANSWER 1 OF 2 CHEMCATS COPYRIGHT 2005 ACS on STN  
Accession No. (AN): 2003:124577 CHEMCATS  
Catalog Name (CO): Ambinter Screening Library  
Publication Date (PD): 1 Jan 2004  
Order Number (ON): T0500-1291  
Chemical Name (CN): Glycine, N-[4-(5-phenyl-2-oxazolyl)benzoyl]-  
CAS Registry No. (RN): 438018-46-3  
Supplementary Term (ST): CHEMICAL LIBRARY  
Structure :



L6 ANSWER 2 OF 2 CHEMCATS COPYRIGHT 2005 ACS on STN  
Accession No. (AN): 2000:988451 CHEMCATS  
Catalog Name (CO): Heterocyclic Compounds Catalog (milligram quantities)  
Publication Date (PD): 15 Mar 2005  
Order Number (ON): 3461  
Chemical Name (CN): Glycine, N-[4-(4,5-dihydro-5-oxo-2-oxazolyl)benzoyl]-  
CAS Registry No. (RN): 300395-44-2  
Supplementary Term (ST): CHEMICAL LIBRARY  
Structure :



FILE 'CAPLUS' ENTERED AT 14:08:05 ON 28 APR 2005  
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FILE COVERS 1907 - 28 Apr 2005 VOL 142 ISS 18  
FILE LAST UPDATED: 27 Apr 2005 (20050427/ED)

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=> d his

(FILE 'HOME' ENTERED AT 14:04:39 ON 28 APR 2005)

FILE 'REGISTRY' ENTERED AT 14:04:48 ON 28 APR 2005  
L1 STRUCTURE uploaded  
L2 0 S L1  
L3 11 S L1 FULL  
L4 9 S L3 AND CAPLUS/LC  
L5 2 S L3 NOT L4

L6 FILE 'CHEMCATS' ENTERED AT 14:07:30 ON 28 APR 2005  
2 S LS

FILE 'CAPLUS' ENTERED AT 14:08:05 ON 28 APR 2005

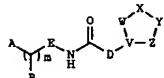
=> s 14

L7 5 L4

=> d ibib abs hitstr 1-5

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS ON STN  
ACCESSION NUMBER: 2004:878167 CAPLUS  
DOCUMENT NUMBER: 141:366227  
TITLE: Preparation of imidazolidin-2-one and oxazolidin-2-one derivatives as glucagon receptor antagonists/inverse agonists  
INVENTOR(S): Kurukulasuriya, Ravi; Link, James T.; Patel, Jyoti R.; Sorensen, Bryan K.  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 24 pp.  
CODEN: USXKCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

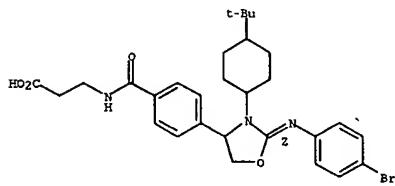
PATENT NO. KIND DATE APPLICATION NO. DATE  
----- ----- -----  
US 200420928 A1 20041021 US 2003-743954 P 20031223  
PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 141:366227  
GI



AB Compds. of formula (I) or pharmaceutically suitable salts, esters or prodrugs thereof, [wherein A = CO<sub>2</sub>H, tetrazole; B = H, F, OH, alkoxyl, NR<sub>2</sub>Ar (wherein Ar, R<sub>b</sub> = H, alkyl, alkylcarbonyl, alkylsulfonyl, alkoxalkyl, cycloalkyl, cycloalkylcarbonyl, cycloalkylsulfonyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, heterocyclylcarbonyl, heterocyclylsulfonyl); D = aryl, heteroaryl; E = (CH<sub>2</sub>)<sub>n</sub>, m, n = 0, 1, 2, V = = C(RC), N (wherein R<sub>b</sub> = H, alkyl, alkoxyl, alkoxalkyl, cycloalkyl, cycloalkylcarbonyl, cycloalkylsulfonyl, heterocyclyl, heterocyclylalkyl, heterocyclylcarbonyl, heterocyclylsulfonyl); W = C(RdMe), (Rd)N, O, S, (SO)<sub>2</sub>, S(O)<sub>2</sub>Z; X = C(O), C(O)C(RFrG), C(RFrG)C(O), C(S), C(RFrG), C(RFrG)C(RIrJ), C(NR<sub>b</sub>), S(O), S(O)<sub>2</sub>Z = C(RkNm), (Rk)N, O, S, S(O), S(O)<sub>2</sub>Z; Z is a bond, C(RPrQ), C(RPrQ)C(RSt); Rd, Re, Rf, Rg, R<sub>b</sub>, Rj, Rk, Rm, Rp, Rq, Rs, R<sub>b</sub> = H, alkyl, alkoxyl, alkoxalkyl, aryl, arylalkyl, arylxoy, arylalkoxy, cycloalkyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylsulfonyl, heterocyclyl, heterocyclylalkyl, heterocyclylcarbonyl, heterocyclylsulfonyl are prepared. These compds. are novel glucagon receptor antagonists or inverse agonists and are useful for treating (1) type 2 diabetes in a mammal, (2) symptoms related to type 1 or type 2 diabetes in a mammal wherein said symptoms are selected from the group consisting of hyperglycemia, hyperinsulinemia, inadequate glucose clearance, obesity, hyperlipidemia, lipid metabolism disorders and hypertension, and (3) diabetes or syndrome X in a mammal. Thus, 3-[4-[(1-(4-*tert*-butylcyclohexylamino)-ethyl]benzylamino]-2-(*tert*-butyldimethylsilylaniidoxy)-ethyl]benzylamino]proprionic acid Et ester underwent addition reaction with 4-(trifluoromethoxy)phenyl isocyanate in THF at ambient temperature for 12 h to give

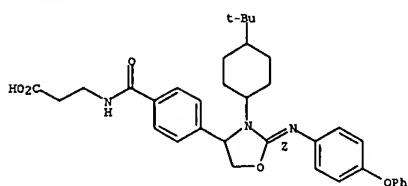
THF at ambient temperature for 12 h to give  
 3-[4-[1-[N-(4-tert-butylcyclohexyl)-N'-  
 (4-trifluoromethoxyphenyl)ureido]-2-(tert-butylidemethylsilyloxy)ethyl]be-

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



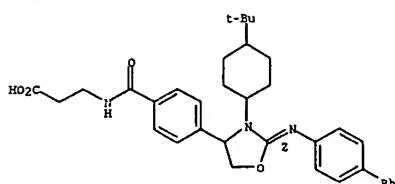
RN 780763-70-4 CAPLUS  
CN  $\beta$ -Alanine, N-[4-[(2Z)-3-[4-(1,1-dimethylethyl)cyclohexyl]-2-[(4-phenylcyclohexyl)methyl]oxazolidinyl]benzyl]- (9CI) (CA INDEX NAME)

Double-head geometry as shown



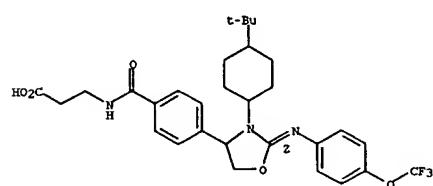
RN 780763-71-5 CAPLUS  
 CN  $\beta$ -Alanine, N-[4-((2Z)-2-((1,1'-biphenyl)-4-ylmino)-3-[(1,1-dimethylbutyl)cyclohexyl]-4-oxazolidinyl)benzyl] (9CI) (CA INDEX NAME)

#### Double-head scorpion as above



ANSWER 1 OR 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
 nyoaminoacrylic acid Et ester (II). Desilylation of II with Bu4NF in THF for 30 min gave 3-[4-[(1-N-(4-tert-Butylcyclohexyl)-N'-(4-trifluoromethoxyphenyl)ureido]-2-hydroxyethyl]benzoylaminocaprylic acid Et ester which was cyclized by treatment with polymer-supported triphenylphosphine (0.161 g, 0.44 mmol) followed by di-*Et*-acidic carboxylate, sapon, with NaOH in aq. MeOH, and acidification with 1 N aq. HCl to give N-[4-(3-[(4-tert-Butylcyclohexyl)-2-oxo-1-(4-(trifluoromethoxy)phenyl)imidazolidin-4-yl]benzoyl)-2'-alanine. The compds. i were found to inhibit glucagon-stimulated cAMP prodn. at a concn. of 20  $\mu$ M a range of about 50 to approx. 100<sup>8</sup>.  
 IT 780763-68-0P, N-[4-[(22)-3-(4-tert-Butylcyclohexyl)-2-[(4-(trifluoromethoxyphenyl)imino)-1-methyl-1,3-oxazolidin-4-yl]benzoyl]-2'-alanine 780763-69-1P, N-[4-[(22)-2-[(4-Bromophenyl)imino]-3-(4-tert-butylcyclohexyl)-1,3-oxazolidin-4-yl]benzoyl]-2'-alanine 780763-70-4P, N-[4-[(22)-3-(4-tert-Butylcyclohexyl)-2-[(4-phenoxypyridyl)imino]-1,3-oxazolidin-4-yl]benzoyl]-2'-alanine 780763-71-5P, N-[4-[(22)-2-(1,1'-Biphenyl-4-ylimino)-3-[(4-tert-butylcyclohexyl)-1,3-oxazolidin-4-yl]benzoyl]-2'-alanine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of imidazolidin-2-one and oxazolidin-2-one derivs. as glucagon receptor antagonists/inverse agonists for treating type II diabetes or symptoms related to type 1 or 2 diabetes)  
 RN 780763-68-0 CAPLUS  
 CN  $\beta$ -Alanine, N-[4-[(22)-3-[4-(1,1-dimethylethyl)cyclohexyl]-2-[(4-

(CITIZENSHIP, ADDRESS, PHONE NUMBER)



RN 780763-69-1 CAPLUS  
CN  $\beta$ -Alanine, N-[4-((2Z)-2-[(4-bromophenyl)imino]-3-[4-(1,1-dimethylethyl)cyclohexyl]-4-oxazolidinyl)benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown

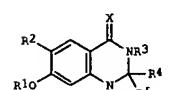
L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2004:182874 CAPLUS  
DOCUMENT NUMBER: 140:235742  
TITLE: Preparation of quinazolinones as inosine 5'-monophosphate dehydrogenase (IMPDH) inhibitors.  
INVENTOR(S): Vaughan, Alan Findlay; Buckley, George Martin; Dyke, Hazel Joan; Hannah, Duncan Robert; Richard, Marianna Dilani; Sharpe, Andrew; Williams, Sophie Caroline  
PATENT ASSIGNEE(S): Cambridge Research Ltd, UK

SOURCE: PCT Int. App.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

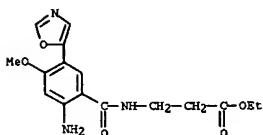
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018462	A1	20040304	WO 2003-GB3600	20030818
W: AZ, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GE, ID, IL, IS, JP, KE, KG, KP, KR, LZ, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YA, ZA, ZM, ZW				
RW: GH, GM, KS, LS, MW, MD, SD, SZ, TZ, UG, ZW			AM, AZ, BY, CZ, DE, DK, ES, FI, GB, GE, SI, SK, TR	
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SN, TD, TG				
BF, BJ, CG, CI, CH, GA, GH, GQ, GW, ML, MR, SN, TD				

OTHER SOURCE(S): MARPAT 140:235742



AB Title compds. [I; X = O, S; R1 = aliphatic, cycloaliph., cycloalkylalkyl, R2 = (substituted) heteroaryl, cyano; R3 = (Alk1)al1(Alk2)R6] m, n, P, q = 0, 1; Alk1-Alk4 = (substituted) aliphatic, heteroaliph. chain; L1, L2 = bond, linker atom or group; R6 = H, (substituted) cycloaliph., heterocycloaliph., aryl, heteroaryl; R4 = (Alk3)p2(Alk4)qR7; R7 = H, halo, cyano, (substituted) cycloaliph., heterocycloaliph., aryl, heteroaryl; R5 = H, (substituted) aliphatic; and the salts, solvates, hydrates, tautomers, isomers or N-oxides thereof], were prepared. Thus, 2-amino-4-methoxy-N-(2-morpholin-4-ylethyl)-5-oxazol-5-ylbenzamide (preparation given) was refluxed 6 h with MgSO<sub>4</sub> and p-TsOH in acetone to give 164 2-methoxy-2,2-dimethyl-3-(2-morpholin-4-ylethyl)-5-oxazol-5-yl-2,3-dihydro-

L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
 IT 1H-quinoxolin-4-one. I inhibited IMPDH with IC50s 5  $\mu$ M.  
 667939-89-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of quinazolinones as IMP dehydrogenase (IMPDH) inhibitors)  
 RN 667939-89-1 CAPLUS  
 CN  $\beta$ -Alanine, N-[2-amino-4-methoxy-5-(5-oxazolyl)benzoyl]-, ethyl ester  
 (9CI) (CA INDEX NAME)

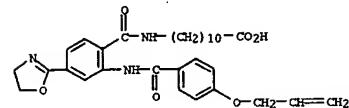


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:134480 CAPLUS  
 DOCUMENT NUMBER: 138:369309  
 TITLE: Multifunctional coupling agents: Synthesis and model reactions  
 AUTHOR(S): Jakisch, L.; Komber, H.; Bohme, F.  
 CORPORATE SOURCE: Institute of Polymer Research Dresden e.V., Dresden, D-0169, Germany  
 SOURCE: Journal of Polymer Science, Part A: Polymer Chemistry (2003), 41(5), 655-667  
 CODEN: JPACAC; ISSN: 0887-624X  
 PUBLISHER: John Wiley & Sons, Inc.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB New multifunctional coupling agents with one 2-oxazoline group, one oxazinone group, and one allyl ether group were prepared. It was shown by means of model reactions that under the conditions of reactive extrusion, the 2-oxazoline group and the oxazinone group reacted selectively with carboxylic groups and amino groups, resp. The allyl ether group remained unaffected under the reaction conditions chosen. As a model reaction, the conversion of the coupling agents with 11-aminoundecanoic acid resulted in the formation of an allyloxy-functionalized poly(ester amide). The reaction could be performed stepwise, in the course of which the reaction of the amino group proceeded at 110° in solution, whereas the reaction of the carboxylic group was performed in the melt at 220°. Furthermore, the utilization of the coupling agents for the preparation of telechelic poly(propylene glycol) with one oxazoline group and one allyl ether group on each chain end was described.

IT 522616-67-7P  
 RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and model reaction of multifunctional coupling agents)  
 RN 522616-67-7 CAPLUS  
 CN Undecanoic acid, 11-[[4-(4,5-dihydro-2-oxazolyl)-2-[(4-(2-propenyl)oxy)benzoyl]amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

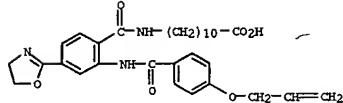


IT 522616-68-8P  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and model reaction of multifunctional coupling agents)  
 RN 522616-68-8 CAPLUS  
 CN Undecanoic acid, 11-[[4-(4,5-dihydro-2-oxazolyl)-2-[(4-(2-propenyl)oxy)benzoyl]amino]benzoyl]amino]-, homopolymer (9CI) (CA INDEX NAME)

CM 1  
 CRN 522616-67-7  
 CNF C31 H39 N3 O6

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

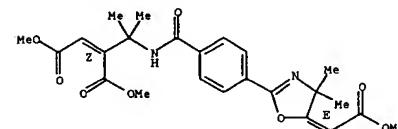


L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:354566 CAPLUS  
 DOCUMENT NUMBER: 137:78896  
 TITLE: Efficient and General Synthesis of 5-[(Alkoxycarbonyl)methylene]-3-oxazolines by Palladium-Catalyzed Oxidative Carbonylation of Prop-2-ynylamides  
 AUTHOR(S): Bacchi, Alessia; Costa, Mirco; Gabriele, Bartolo; Palizzi, Giancarlo; Salerno, Giuseppe  
 CORPORATE SOURCE: Dipartimento di Chimica Generale Analitica e Chimica Fisica, Universita di Parma, Parma, 43100, Italy  
 SOURCE: Journal of Organic Chemistry (2002), 67(13), 4450-4457  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 137:78896

AB A variety of prop-2-ynylamides have been carbonylated under oxidative conditions to give oxazolines, oxazolines with chelating groups, and bisoxazolines bearing an (alkoxycarbonyl)methylene chain at the 5 position in good yields. The cyclization-alkoxycarbonylation process was carried out in *alco*l, *edi* at 50-70° and under 24 bar pressure of 3:1 carbon monoxide/air in the presence of catalytic amounts of 10% Pd/C or PdI2 in conjunction with KI. Cyclization occurred by anti attack of an oxygen function on the palladium-coordinated triple bond, followed by stereospecific alkoxycarbonylation, strictly resulting in *E*-stereoisomer. The structures of representative oxazolines and bisoxazolines have been determined by X-ray diffraction anal.

IT 440365-24-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of 5-[(alkoxycarbonyl)methylene]-3-oxazolines by palladium-catalyzed oxidative carbonylation of prop-2-ynylamides)  
 RN 440365-24-2 CAPLUS  
 CN 2-Butenedioic acid, 2-[[1-[[4-((5E)-4,5-dihydro-5-(2-methoxy-2-oxoethylidene)-4,4-dimethyl-2-oxazolyl)benzoyl]amino]-1-methylethyl]-, dimethyl ester, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1990:612686 CAPLUS  
 DOCUMENT NUMBER: 113:212686  
 TITLE: Peptide analogs as human immunodeficiency virus (HIV) protease inhibitors  
 INVENTOR(S): Hanks, Rudolf H.; Scangos, George A.; Yoo-Warren, Heesja; Ramabhadran, Triprayar V.; Paessens, Arnold; Henning, Rolf; Tamburini, Paul Perry; Hoppe, Dieter; Hansen, Jutta; Rabe, Klaus  
 PATENT-ASSIGNEE(S): Molecular Therapeutics, Inc., USA  
 SOURCE: Eur. Pat. Appl., 73 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

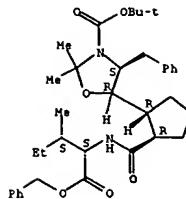
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 361341	A2	19900404	EP 1989-117616	19890923
EP 361341	A3	19910703		
FI 8904541	A	19900329	FI 1989-4541	19890926
AU 8942308	A1	19900816	AU 1989-42308	19890926
AU 633017	B2	19930121		
DK 8904760	A	19900329	DK 1989-4760	19890927
NO 8903834	A	19900329	NO 1989-3834	19890927
ZA 8907338	A	19900725	ZA 1989-7338	19890927
JP 02191243	A2	19900727	JP 1989-253683	19890928
			US 1989-250472	A 19880928
			US 1989-386194	A 19890928

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 113:212686  
 GI For diagram(s), see printed CA issue.  
 AB AlkZnYm2 [Al = H, R1CO; R1 = OR2, NR2R3, CR2R3R4; R2, R3, R4 = (substituted) aliphatic, aryl; k, n = 0, 1, k = 0 when Z = H; n = 0 when Y = H; Z = H, Ser, Thr, R1CO; Y = H, R5CO; R5 = R1, HNCH(R5)CO; R9 = (substituted) aliphatic; A2 = E4E2Q8IX, etc.; E4 = H, Asn, R1CO; E2 = HNCH(CH2R6)CH(OH)CH2, HNCH(CH2R6)P(OH) (O), etc.; Q = 4-7-membered (hetero)cyclienes; E1 = CO; X = H, R1, HNCH(R1)O; R6, R7 = (substituted) aliphatic, aryl; R10 = H, COR1, CONHCH(R5)COR1], were prepared. Thus, title compound I, prepared by solution phase methods, had an IC50 of 8  $\mu$ M for inhibition of HIV protease.  
 IT 130372-03-1  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of, as HIV protease inhibitor)  
 RN 130372-03-1 CAPLUS  
 CN 3-Oxazolidinecarboxylic acid, 2,2-dimethyl-5-[2-[[2-methyl-1-[(phenylmethoxy)carbonyl]butyl]amino]carbonyl]cyclopentyl]-4-(phenylmethyl)-, 1,1-dimethylethyl ester, [4S-(4a,5a-1S\*,2S\*)(1R\*,2R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	26.50	202.64
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.65	-3.65

STN INTERNATIONAL LOGOFF AT 14:10:33 ON 28 APR 2005

L9 ANSWER 2 OF 4 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:134676 MARPAT

TITLE:

Preparation of cyclic amine phenyl  $\beta_3$  adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia

INVENTOR(S):

Hu, Baihua; Sum, Fuk-Wah; Malamas, Michael Sotirios

PATENT ASSIGNEE(S):

American Home Products Corporation, USA

SOURCE:

PCT Int. Appl., 235 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

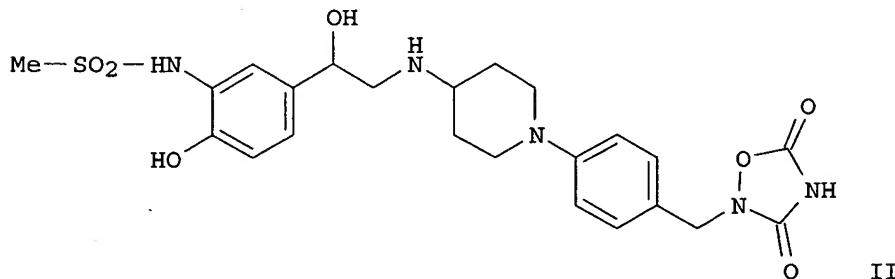
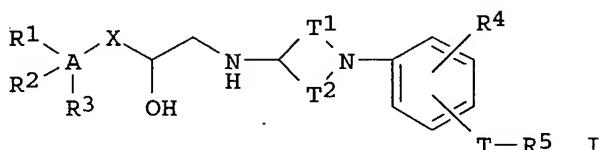
English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006232	A1	20020124	WO 2001-US22387	20010716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028835	A1	20020307	US 2001-903754	20010712
US 6525202	B2	20030225		
CA 2416245	AA	20020124	CA 2001-2416245	20010716
EP 1301482	A1	20030416	EP 2001-984234	20010716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012522	A	20030624	BR 2001-12522	20010716
JP 2004504299	T2	20040212	JP 2002-512136	20010716
US 2003144326	A1	20030731	US 2002-330576	20021227
PRIORITY APPLN. INFO.:			US 2000-218627P	20000717
			US 2001-903754	20010712
			WO 2001-US22387	20010716

GI



AB Title compds. I [wherein A = (hetero)aryl or heterocyclyl; X = OCH<sub>2</sub>, SCH<sub>2</sub>, or a bond; T<sub>1</sub> = (CH<sub>2</sub>)<sub>m</sub>; T<sub>2</sub> = (CH<sub>2</sub>)<sub>n</sub>; m = 1-3; n = 1-3; T = a bond,

(un) substituted alkyl or alkenyl, alkynyl, alkylthio, alkylamino, alkoxy(alkyl), alkylthioalkyl, acyl, or alkenylcarbonyl; R1, R2, and R3 = independently H, (cyclo)alkyl, OH, halo, CF<sub>3</sub>, alkoxy, benzyloxy, allyloxy, propargyloxy, acyloxy, CN, NO<sub>2</sub>, NH<sub>2</sub>, CONH<sub>2</sub>, (di)alkylamino, formamido, ureido, acylamino, alkylsulfonylamino, arylsulfonylamino, dialkyloxyphosphorylamino, dihydroxyphosphorylamino, alkoxycarbonyl, or (un) substituted aryl; R4 = H, alkyl, halo, OH, alkoxy, alkylthio, (alkyl)amino, carboxy, acyl, arylcarbonyl, alkoxycarbonyl, CONH<sub>2</sub>, alkylaminocarbonyl, alkylsulfonyl, or arylsulfonylamino; R5 = (un) substituted (di)oxoimidazolidinyl, (di)oxooxazolidinyl, (di)oxothiazolidinyl, dioxooxadiazolidinyl, tetrazolyl, oxopyrrolinyl, alkoxycarbonyl, aminocarbonyl, acyl, ureido, etc.; or a pharmaceutically acceptable salt thereof] were prepared by standard and combinatorial synthetic methods as  $\beta$ 3 adrenergic receptor agonists. For example, acetic acid was added to a mixture of N-[5-[(1R)-2-amino-1-hydroxyethyl]-2-hydroxyphenyl]methanesulfonamide (preparation given), 2-[4-(4-oxo-1-piperidinyl)benzyl]-1,2,4-oxadiazolidine-3,5-dione, and DMF. Sodium triacetoxyborohydride was added and the mixture stirred at room temperature

for 24

h to give (R)-I (71%). The latter bound to the  $\beta$ 3 adrenergic receptor with EC<sub>50</sub> of 20  $\mu$ M, exhibited a maximal response activity equivalent to isoproterenol, and increased thermogenesis in  $\beta$ 3 transgenic mice by 30  $\pm$  8% compared to an increase of 16  $\pm$  4% in  $\beta$ 3 knockout mice. Thus, I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenetic inflammation, glaucoma, ocular hypertension, frequent urination, and are particularly useful in the treatment or inhibition II diabetes.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 41 MARPAT COPYRIGHT 2005 ACS OR STN

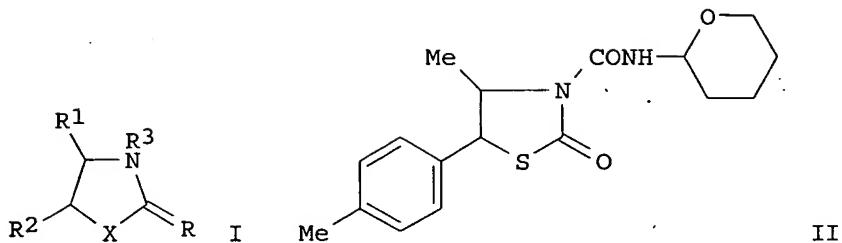
ACCESSION NUMBER: 135:288773 MARPAT  
 TITLE: Preparation of Oxa(thia)zolidine derivative as anti-inflammatory agents  
 INVENTOR(S): Takagi, Masa; Ishimitsu, Keiichi; Nishibe, Tadayuki  
 PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 54 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001-2481		20010327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001044566	A5	20011008	AU 2001-44566	20010327
EP 1277743	A1	20030122	EP 2001-917503	20010327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002080368	A2	20020319	JP 2001-184538	20010619
US 2003199479	A1	20031023	US 2002-240075	20020925
US 6862220	B2	20040713		

US 2004220244 A1 20041104  
PRIORITY APPLN. INFO.:

US 2004-853829 20040526  
JP 2000-88078 20000328  
JP 2000-141395 20000515  
JP 2000-182811 20000619  
WO 2001-JP2481 20010327  
US 2002-240075 20020925

GI



AB Title compds. [I;  $\text{X} = \text{S}(\text{O})_2$ ; R1 = CH3, H, CH2Cl, CH2F, CH3CH2, CH3(CH2)2; R2 = 4-CH3C6H4, 4-ClC6H4, C6H5, 2-thienyl, 2-naphthyl, 2-NO2C6H4, 4-CH3CO2C6H4, 4-CH3(CH2)3C6H4, 4-CH3OC6H4, 4-CF3C6H4, 4-CH3CH2C6H4, 2-pyridyl, 3-pyridyl; R3 = H, SO2N(CH3)2, SO2NHC6H4, CH3CH2ONHCO, 4-CH3O-3-NO2C6H3CH2, COCH3, COCH:CH2, CH2CH(C6H5)OCOCH3, CONHCH2CH3, CH3OCONHCS, 2-THPNHCO, 4-ClC6H4NHCO, 4-CF3OC6H4NHCO, cyclohexylaminocarbonyl, CH3OCONHCS; R = NH, NCN, NNO2, NCH3, NOCH2CH3, O, S, cyclohexylaminocarbonylimino, 4-CF3OC6H4N, (C6H5N) (CH3)2NHCON] and stereoisomers are prepared as phospholipase A(2) inhibitors. Title compds. I or pharmacol. acceptable composites are used in medicinal compns. as the active ingredient of antiinflammatories. Thus, the title compound II was prepared and biol. tested.

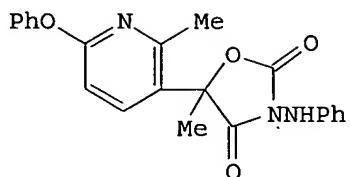
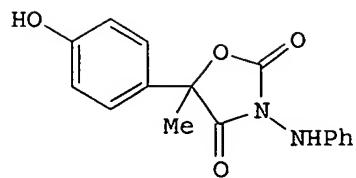
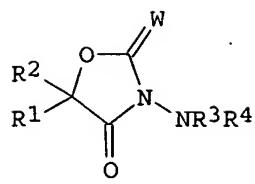
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 4 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 120:106987 MARPAT  
TITLE: Fungicidal oxazolidinones  
INVENTOR(S): Campbell, Carlton Lane; Gross, Charlene Marie; Sternberg, Jeffrey Arthur; Sun, King Mo  
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA  
SOURCE: PCT Int. Appl., 131 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9318016	A1	19930916	WO 1993-US2164	19930310
		W: AU, BR, CA, FI, HU, JP, MG, NO, NZ, PL, RO, RU, UA, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG		
AU 9338006	A1	19931005	AU 1993-38006	19930310
EP 630370	A1	19941228	EP 1993-907384	19930310
		R: DE, ES, FR, GB, IT		
PRIORITY APPLN. INFO.:			US 1992-849563	19920311
			WO 1993-US2164	19930310

GI



AB The title compds., 3-amino-4-oxazolidinones I (R1, R2 = alkyl, haloalkyl, etc.; R3 = Ph, pyridinyl, pyrimidinyl, etc.; R4 = hydrogen, Me, acetyl; W = oxygen, sulfur, amino) and their uses as agrochem. fungicides are claimed. An example compound, 5-(4-hydroxyphenyl)-5-methyl-3-(phenylamino)-2,4-oxazolidinedione (II) was prepared in several steps. Another example compound, 5-(2-fluoro-6-phenoxy-3-pyridyl)-5-methyl-3-(phenylamino)-2,4-oxazolidinedione (III) had fungicidal activity against *Puccinia recondita*, *Phytophthora infestans* and *Plasmopara viticola*.

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